L9 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1997:678926 CAPLUS

DOCUMENT NUMBER: 127:331392

TITLE: Preparation of 1,2-diphenylpyrroles as

cyclooxygenase-2 inhibitors

INVENTOR(S): Kimura, Tomio; Noguchi, Yasuo; Nakao, Akira; Suzuki,

Keisuke; Ushiyama, Shigeru; Kawara, Akihiro; Miyamoto,

Masaaki

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan SOURCE: Eur. Pat. Appl., 140 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPI	LICATION NO.	DÁTE
EP 799823	A1	19971008	EP :	1997-302245	19970402
R: AT, BE, CI IE, FI	H, DE,	DK, ES, FR, G	B, GI	R, IT, LI, LU,	NL, SE, MC, PT,
US 5908858	A	19990601	US :	1997-824775	19970326
AU 9716653	A 1	19971009	AU :	1997-16653	19970402
AU 710380	B2	19990916			
CA 2201812	AA	19971005	CA :	1997-2201812	19970404
NO 9701564	A	19971006	NO 3	1997-1564	19970404
JP 09323971	A2	19971216	JP :	1997-86889	19970404
JP 3034819	B2	20000417			
RU 2125044	C1	19990120	RU I	1997-105191	19970404
CN 1168372	Α	19971224	CN 1	1997-113404	19970405
PRIORITY APPLN. INFO.:			JP 3	1996-83562	19960405
OTHER SOURCE(S) ·	MΔT	PDAT 127.331392			

OTHER SOURCE(S): MARPAT 127:331392

GI

$$\mathbb{R}^{4}$$
 \mathbb{R}^{3}
 \mathbb{R}^{2}
 \mathbb{R}^{2}

- AB Title compds. [e.g., I; R = ZSO2R1; R1 = alkyl or NHRa; Ra = H, alkanoyl, alkoxycarbonyl, etc.; R2 = (un)substituted Ph; R3 = H, halo, (un)substituted alkyl; R4 = H, (un)substituted alkyl, aryl(alkyl), etc.; Z = (un)substituted 1,4-phenylene] were prepd. Thus, 4-(MeO)C6H4NH2 was condensed with 4-(OHC)C6H4SO2Me and the product treated with Me3SiCN/ZnCl2 to give 4-(MeO)C6H4NHCH(CN)C6H4(SO2Me)-4 which was cyclocondensed with CH2:CHCHO to give I [R = C6H4(SO2Me)-4, R2 = C6H4(OMe)-4, R3 = R4 = H]. Data for biol. activity of title compds. were given.
- IT 189501-09-5P 197904-27-1P 197904-28-2P 197904-30-6P 197904-31-7P 197904-32-8P 197904-52-2P 197904-68-0P 197904-69-1P 197904-74-8P 197904-75-9P 197904-76-0P 197904-77-1P 197904-78-2P 197904-79-3P

Page 2

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197904-80-6P 197904-81-7P 197904-82-8P
197904-83-9P 197904-84-0P 197904-85-1P
197904-86-2P 197904-87-3P 197904-88-4P
197904-89-5P 197904-91-9P 197904-92-0P
197904-93-1P 197904-94-2P 197904-95-3P
197904-96-4P 197904-98-6P 197904-99-7P
197905-00-3P 197905-01-4P 197905-02-5P
197905-03-6P 197905-05-8P 197905-07-0P
197905-08-1P 197905-09-2P 197905-10-5P
197905-11-6P 197905-12-7P 197905-13-8P
197905-14-9P 197905-15-0P 197905-16-1P
197905-17-2P 197905-18-3P 197905-20-7P
197905-21-8P 197905-23-0P 197905-24-1P
197905-26-3P 197905-27-4P 197905-28-5P
197905-29-6P 197905-30-9P 197905-31-0P
197905-32-1P 197905-33-2P 197905-34-3P
197905-35-4P 197905-36-5P 197905-37-6P
197905-38-7P 197905-39-8P 197905-40-1P
197905-41-2P 197905-42-3P 197905-43-4P
197905-44-5P 197905-45-6P 197905-46-7P
197905-47-8P 197905-48-9P
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RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

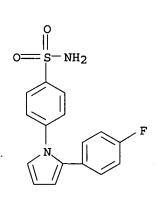
(prepn. of 1,2-diphenylpyrroles as cyclooxygenase-2 inhibitors)

RN 189501-09-5 CAPLUS

Benzenesulfonamide, 4-[2-(4-fluorophenyl)-1H-pyrrol-1-yl]- (9CI) (CA

INDEX NAME)

CN



Col. 15, formula (II)
Table II in col. 21+22
Compl No. 2-62

Example 13 in column 75

RN 197904-27-1 CAPLUS

CN Benzenesulfonamide, 4-[2-(4-fluorophenyl)-4-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

Page 3

RN 197904-28-2 CAPLUS CN Benzenesulfonamide, 4-[2-(4-methylphenyl)-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197904-30-6 CAPLUS
CN Benzenesulfonamide, 4-[4-methyl-2-(4-methylphenyl)-1H-pyrrol-1-yl]- (9CI)
(CA INDEX NAME)

RN 197904-31-7 CAPLUS

CN Benzenesulfonamide, 4-[1-(4-fluorophenyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN 197904-32-8 CAPLUS

CN Benzenesulfonamide, 4-[1-(4-fluorophenyl)-4-methyl-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 197904-52-2 CAPLUS

CN Benzenesulfonamide, 4-[5-chloro-1-(4-fluorophenyl)-4-methyl-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 197904-68-0 CAPLUS

CN Benzenesulfonamide, 4-[2-(4-fluorophenyl)-4-phenyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197904-69-1 CAPLUS
CN Benzenesulfonamide, 4-[2-(4-methoxyphenyl)-4-methyl-1H-pyrrol-1-yl]- (9CI)
(CA INDEX NAME)

RN 197904-75-9 CAPLUS CN Benzenesulfonamide, 4-[4-methyl-1-(4-methylphenyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN 197904-76-0 CAPLUS
CN Benzenesulfonamide, 4-[1-(4-chlorophenyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN 197904-77-1 CAPLUS
CN Benzenesulfonamide, 4-[1-(4-chlorophenyl)-4-methyl-1H-pyrrol-2-yl]- (9CI)
(CA INDEX NAME)

$$S-NH_2$$

RN's in US 5,908,858 (09/678,218)

Page 7

RN 197904-78-2 CAPLUS

CN Benzenesulfonamide, 4-[1-(4-methoxyphenyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN 197904-79-3 CAPLUS

CN Benzenesulfonamide, 4-[1-(4-methoxyphenyl)-4-methyl-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN 197904-80-6 CAPLUS

CN Benzenesulfonamide, 4-[4-butyl-1-(4-methoxyphenyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

$$\stackrel{\mathsf{OMe}}{\underset{\mathsf{N}}{\bigvee}} \stackrel{\mathsf{O}}{\underset{\mathsf{N}}{\bigvee}} = \mathsf{NH}_2$$

RN 197904-81-7 CAPLUS

CN Benzenesulfonamide, 4-[4-ethyl-2-(4-methoxyphenyl)-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197904-82-8 CAPLUS

CN Benzenesulfonamide, 4-[2-(4-chlorophenyl)-4-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197904-83-9 CAPLUS

CN Benzenesulfonamide, 4-[4-methyl-2-[4-(methylthio)phenyl]-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

RN 197904-84-0 CAPLUS
CN Benzenesulfonamide, 4-[2-(4-ethoxyphenyl)-4-methyl-1H-pyrrol-1-yl]- (9CI)
(CA INDEX NAME)

RN 197904-85-1 CAPLUS
CN Benzenesulfonamide, 4-[4-methyl-2-(4-propoxyphenyl)-1H-pyrrol-1-yl]- (9CI)
(CA INDEX NAME)

RN 197904-86-2 CAPLUS

CN Benzenesulfonamide, 4-[2-(4-methoxy-3-methylphenyl)-4-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197904-87-3 CAPLUS

CN Benzenesulfonamide, 4-[2-(3,4-dichlorophenyl)-4-methyl-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

RN 197904-88-4 CAPLUS

CN Benzenesulfonamide, 4-[2-(3-fluoro-4-methoxyphenyl)-4-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197904-89-5 CAPLUS
CN Benzenesulfonamide, 4-[2-(2,4-difluorophenyl)-4-methyl-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

$$O = S - NH_2$$

$$N = F$$
Me

RN 197904-91-9 CAPLUS
CN Benzenesulfonamide, 4-[2-(3,4-difluorophenyl)-4-methyl-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

RN 197904-92-0 CAPLUS

CN Benzenesulfonamide, 4-[1-(2,4-difluorophenyl)-4-methyl-1H-pyrrol-2-yl](9CI) (CA INDEX NAME)

RN 197904-93-1 CAPLUS

CN Benzenesulfonamide, 4-[2-(4-methoxyphenyl)-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197904-94-2 CAPLUS

CN Benzenesulfonamide, 4-(4-methyl-2-phenyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RN 197904-95-3 CAPLUS
CN Benzenesulfonamide, 4-[2-(3,4-dimethylphenyl)-4-methyl-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

RN 197904-96-4 CAPLUS
CN Benzenesulfonamide, 4-[2-(3-chloro-4-methoxyphenyl)-4-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197904-98-6 CAPLUS

CN Benzenesulfonamide, 4-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl]methyl]-2-(4-methoxyphenyl)-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197904-99-7 CAPLUS

CN Acetamide, N-[[4-[2-(4-methoxyphenyl)-4-methyl-1H-pyrrol-1-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 197905-00-3 CAPLUS

CN Acetamide, N-[[4-[2-(3,4-dimethylphenyl)-4-methyl-1H-pyrrol-1-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN's in US 5,908,858 (09/678,218)

RN 197905-01-4 CAPLUS
CN Benzenesulfonamide, 4-[4-methyl-1-[4-(methylthio)phenyl]-1H-pyrrol-2-yl](9CI) (CA INDEX NAME)

RN 197905-02-5 CAPLUS
CN Benzenesulfonamide, 4-[1-[4-(ethylthio)phenyl]-4-methyl-1H-pyrrol-2-yl](9CI) (CA INDEX NAME)

RN 197905-03-6 CAPLUS CN Benzenesulfonamide, 4-[1-(3,4-dimethylphenyl)-4-methyl-1H-pyrrol-2-yl]-

RN's in US 5,908,858 (09/678,218)

Page 16

(9CI) (CA INDEX NAME)

RN 197905-05-8 CAPLUS
CN Benzenesulfonamide, 4-[2-(3,5-dimethylphenyl)-4-methyl-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

RN 197905-07-0 CAPLUS
CN Benzenesulfonamide, 4-[1-(4-methoxyphenyl)-5-methyl-1H-pyrrol-2-yl]- (9CI)
(CA INDEX NAME)

RN 197905-08-1 CAPLUS

CN Benzenesulfonamide, 4-[5-methyl-1-[4-(methylthio)phenyl]-1H-pyrrol-2-yl]-(9CI) (CA INDEX NAME)

RN 197905-09-2 CAPLUS

CN Benzenesulfonamide, 4-[1-(4-chlorophenyl)-5-methyl-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ \hline \\ N & & \\ \end{array}$$

RN 197905-10-5 CAPLUS

CN Benzenesulfonamide, 4-[1-[4-(methylthio)phenyl]-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN 197905-11-6 CAPLUS

CN Benzenesulfonamide, 4-[1-(2,4-dichlorophenyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 197905-12-7 CAPLUS

CN Benzenesulfonamide, 4-[1-(4-ethoxyphenyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN 197905-13-8 CAPLUS

CN Benzenesulfonamide, 4-[4-methyl-2-[4-(methylsulfinyl)phenyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197905-14-9 CAPLUS

CN Benzenesulfonamide, 4-[4-methyl-1-[4-(methylsulfinyl)phenyl]-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

Page 19

RN 197905-15-0 CAPLUS

CN Benzenesulfonamide, 4-[5-chloro-1-(4-methoxyphenyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN 197905-16-1 CAPLUS .

CN Benzenesulfonamide, 4-[5-bromo-1-(4-methoxyphenyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN 197905-17-2 CAPLUS

CN Benzenesulfonamide, 4-[5-chloro-1-(4-methoxyphenyl)-4-methyl-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN 197905-18-3 CAPLUS
CN Benzenesulfonamide, 4-[5-chloro-1-(4-ethoxyphenyl)-1H-pyrrol-2-yl]- (9CI)
(CA INDEX NAME)

RN 197905-20-7 CAPLUS
CN Benzenesulfonamide, 4-[5-chloro-1-[4-(methylthio)phenyl]-1H-pyrrol-2-yl](9CI) (CA INDEX NAME)

RN 197905-21-8 CAPLUS
CN Benzenesulfonamide, 4-[5-chloro-1-(2,4-dichlorophenyl)-1H-pyrrol-2-yl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & & \\ C1 & & & \\ & & & \\ C1 & & & \\ & & & \\ \end{array}$$

RN 197905-23-0 CAPLUS
CN Benzenesulfonamide, 4-[1-(3,4-dichlorophenyl)-4-methyl-1H-pyrrol-2-yl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & \\ & & \\ & & \\ N & & \\ & & \\ Me & & \\ \end{array}$$

RN 197905-24-1 CAPLUS
CN Benzenesulfonamide, 4-[1-(4-ethoxyphenyl)-4-methyl-1H-pyrrol-2-yl]- (9CI)
(CA INDEX NAME)

RN 197905-26-3 CAPLUS
CN Benzenesulfonamide, 4-[1-(3-fluoro-4-methoxyphenyl)-4-methyl-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN's in US 5,908,858 (09/678,218)

RN 197905-27-4 CAPLUS

CN Benzenesulfonamide, 4-[1-(3-chloro-4-methoxyphenyl)-4-methyl-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{Cl} \\ \\ \text{N} \\ \\ \text{O} \\ \end{array}$$

RN 197905-28-5 CAPLUS

CN Benzenesulfonamide, 4-(4-methyl-1-phenyl-1H-pyrrol-2-yl)- (9CI) (CA INDEX NAME)

RN 197905-29-6 CAPLUS

CN Benzenesulfonamide, 4-[1-(3-chloro-4-fluorophenyl)-4-methyl-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ & & \\ N & & \\ & & \\ Me & & \\ \end{array}$$

RN 197905-30-9 CAPLUS
CN Benzenesulfonamide, 4-[1-(1,3-benzodioxol-5-yl)-4-methyl-1H-pyrrol-2-yl](9CI) (CA INDEX NAME)

RN 197905-31-0 CAPLUS
CN Benzenesulfonamide, 4-[4-methyl-1-(2,4,6-trimethylphenyl)-1H-pyrrol-2-yl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ Me & & & \\ & & & \\ Me & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 197905-32-1 CAPLUS
CN Benzenesulfonamide, 4-[1-(4-chloro-2-fluorophenyl)-4-methyl-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ \hline \\ F & & & \\ \hline \\ N & & \\ \hline \\ N & & \\ \end{array}$$

RN 197905-33-2 CAPLUS CN Benzenesulfonamide, 4-[2-(4-ethylphenyl)-4-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197905-34-3 CAPLUS
CN Benzenesulfonamide, 4-[4-methyl-2-[4-(1-methylethyl)phenyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197905-35-4 CAPLUS

CN Benzenesulfonamide, 4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197905-36-5 CAPLUS

CN Benzenesulfonamide, 4-[2-(3-chloro-4-fluorophenyl)-4-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197905-37-6 CAPLUS

CN Benzenesulfonamide, 4-[2-[4-(difluoromethoxy)phenyl]-4-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197905-38-7 CAPLUS
CN Represulfonamide, 4-[4-methy]-2-[4-(trif)

CN Benzenesulfonamide, 4-[4-methyl-2-[4-(trifluoromethoxy)phenyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

$$O = S - NH_2$$

$$O = CF_3$$
Me

RN 197905-39-8 CAPLUS

CN Benzenesulfonamide, 4-[2-(2,4-dichlorophenyl)-4-methyl-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

RN 197905-40-1 CAPLUS

CN Benzenesulfonamide, 4-[2-(2,3-dichlorophenyl)-4-methyl-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

RN 197905-41-2 CAPLUS

CN Benzenesulfonamide, 4-[2-(3,5-dichlorophenyl)-4-methyl-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

RN

197905-42-3 CAPLUS

CN Benzenesulfonamide, 4-[4-methyl-2-(2,4,5-trimethylphenyl)-1H-pyrrol-1-yl]-(9CI) (CA INDEX NAME)

RN 197905-43-4 CAPLUS
CN Benzenesulfonamide, 4-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

$$O = S - NH_2$$

$$O = S - NH_2$$

$$O = Me$$

$$O = Me$$

$$O = Me$$

RN 197905-44-5 CAPLUS
CN Benzenesulfonamide, 4-[2-(3-fluoro-4-methylphenyl)-4-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197905-45-6 CAPLUS

CN Benzenesulfonamide, 4-[2-(4-chloro-3-methylphenyl)-4-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 197905-46-7 CAPLUS

CN Benzenesulfonamide, 4-[2-(2,4-dimethylphenyl)-4-methyl-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ S-NH_2 \\ \hline \\ Me & \\ Me \end{array}$$

RN 197905-47-8 CAPLUS

CN Benzenesulfonamide, 4-[1-(4-mercaptophenyl)-4-methyl-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN 197905-48-9 CAPLUS

CN Ethanethioic acid, S-[4-[2-[4-(aminosulfonyl)phenyl]-4-methyl-1H-pyrrol-1-yl]phenyl] ester (9CI) (CA INDEX NAME)

IT 197906-24-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of 1,2-diphenylpyrroles as cyclooxygenase-2 inhibitors)

RN 197906-24-4 CAPLUS

CN Benzenesulfonamide, 4,4'-[dithiobis[4,1-phenylene(4-methyl-1H-pyrrole-1,2-diyl)]]bis-(9CI) (CA INDEX NAME)

$$O = S - NH_2$$
 $O = S - NH_2$
 $O = S - NH_2$

RN's in US 5,908,858 (09/678,218)

Page 31